4

ACCESS DB # 157608

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 6/27/05
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10661148
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Date: _____

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

All Biblios showing prep of Cefdinir

9/12/2003

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STAFF USE ONLY

Searcher: _____
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: _____
Searcher Prep & Review Time: _____
Online Time: _____

Type of Search

_____ NA Sequence (#)
_____ AA Sequence (#)
_____ Structure (#)
_____ Bibliographic
_____ Litigation
_____ Fulltext
_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog
_____ Questel/Orbit _____ Lexis/Nexis
_____ Westlaw _____ WWW/Internet
____ In-house sequence systems
__ Commercial ___ Oligomer ____ Score/Length
__ Interference ___ SPDI ____ Encode/Transl
_____ Other (specify)

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=> d que stat 16
L1   (         1)SEA FILE=REGISTRY ABB=ON  CEFDINIR/CN
L2   (       448)SEA FILE=HCAPLUS ABB=ON  L1 OR ?CEFDINIR?
L3   (       102)SEA FILE=HCAPLUS ABB=ON  L2 AND (?PREP? OR ?SYNTH? OR ?PURIF?
                 OR ?CRYSTALLIZ?)
L6            12 SEA FILE=CASREACT ABB=ON  L2 AND ?PREP?

=> d ibib abs 16 1-12

L6   ANSWER 1 OF 12  CASREACT  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         142:23139  CASREACT
TITLE:                    Process for preparing Cefdinir
INVENTOR(S):              Dandala, Ramesh; Korrapati, V. V. Prasada Rao;
                          Sivakumaran, Meenakhshisunderam
PATENT ASSIGNEE(S):       India
SOURCE:                   U.S. Pat. Appl. Publ., 6 pp.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
     US 2004242557      A1   20041202      US 2003-676914   20031001
PRIORITY APPLN. INFO.:                     IN 2003-MA441    20030602
GI


/ Structure 1 in file .gra /


AB   A process was disclosed for the preparation of the intermediate
     thioester, 2-mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-
     acetyloxyiminoacetate (I), and its subsequent amidation reaction with
     7-amino-3-vinyl-3-cephem-4-carboxylic acid II (R = H) or a corresponding
     cephem ester, such as II (R = C6H4-4-OMe, C6H4-4-NO2, CHPh2), to form the
     β-lactam antibiotic Cefdinir (III).


L6   ANSWER 2 OF 12  CASREACT  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         141:320013  CASREACT
TITLE:                    Novel crystal of 7-[2-(2-aminothiazole-4-yl)-2-
                          hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic
                          acid (syn isomer) and method for preparation
                          thereof
INVENTOR(S):              Imai, Eiji; Niwa, Hiroyuki
PATENT ASSIGNEE(S):       Shiono Chemical Co. Ltd., Japan
SOURCE:                   PCT Int. Appl., 41 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
```

WO 2004085443 A1 20041007 WO 2004-JP3622 20040318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2003-81273 20030324

AB Disclosed is a novel crystal (B-type crystal) of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (a syn isomer), characterized in that it exhibits peaks at diffraction angles shown in the following Table 1, in its powder X ray diffraction pattern; Table 1 Diffraction Angle 2θ (°) approx. 11.7 approx. 16.1 approx. 18.6 approx. 21.2 approx. 22.3 approx. 24.4 approx. 26.2 and a method for **preparing** the novel crystal which comprises forming a crystal from a solution at a temperature of -5 to 5°C in an acidic state. The crystal is not bulky, exhibits good stability and good filterability, and is excellent in the solubility toward water, and thus can be **prepd** . with ease.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:123514 CASREACT
TITLE: **Preparation** of cephalosporins and their intermediates
INVENTOR(S): Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana
PATENT ASSIGNEE(S): Lupin Limited, India
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058695	A1	20040715	WO 2002-IN245	20021226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: WO 2002-IN245 20021226
OTHER SOURCE(S): MARPAT 141:123514
GI

/ Structure 2 in file .gra /

AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as XCH2COC(:NOR)COSO2OCH:NMe2Cl I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group, CH2COOR5, C(CH3)2COOR5, wherein R5 = H, an easily hydrolyzable ester group], were **prepared** as intermediates for their use in the **preparation** of cephalosporin antibiotics, such II [R1 = R; R1 = H, OMe; R2 = H; R3 = H, a neg. charge or together with the CO2- group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. The process of **preparing** I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in CH2Cl2 containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be **prepared** from the intermediate include **cefdinir**, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

L6 ANSWER 4 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:6966 CASREACT
TITLE: Process for **preparing cefdinir** and its amorphous hydrate
INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2002-MA848 20021115
IN 2003-MA152 20030226
OTHER SOURCE(S): MARPAT 141:6966
GI

/ Structure 3 in file .gra /

AB The present invention discloses a process for **preparing** **cefdinir** [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

L6 ANSWER 5 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:77137 CASREACT
TITLE: **Preparation** of oxazolidinone difluorothioacetamide derivatives as antibacterial agents
INVENTOR(S): Hester, Jackson B., Jr.; Adams, Wade J.; Stevens, Jeffrey C.; Scott, Carole; Gordeev, Mikhail F.; Singh, Upinder
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002967	A1	20040108	WO 2003-US16217	20030616
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489411	AA	20040108	CA 2003-2489411	20030616
US 2004077626	A1	20040422	US 2003-462412	20030616
EP 1519924	A1	20050406	EP 2003-734139	20030616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-392213P	20020628
			WO 2003-US16217	20030616

OTHER SOURCE(S): MARPAT 140:77137
GI

/ Structure 4 in file .gra /

AB The present invention describes difluorothioacetamide oxazolidinones (shown as I; R is -CH2- or -CH2CH2-; R2 and R3 = H or F; X is -N- or -CH-; Y is -SO-, -SO2-, or -SONR4-; and R4 is H or C1-4alkyl; e.g. II) as novel antibacterial agents (no data), and antimicrobial combination therapies for combating infective diseases caused by gram-pos. and gram-neg. bacteria. A method of **preparation** is claimed and 31 example **prepns.** are included. For example, 2,2-difluoro-N-[[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]ethanethioamide was **prepared** from [[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]amine and O-(3,3-diphenylpropyl) difluoroethanethioate (**prepared** from difluoroacetic acid and 3,3-diphenyl-1-propanol in Et2O in the presence of 4-dimethylaminopyridine and diisopropyl carbodiimide) in MeOH/CH2Cl2. In another example (method not claimed), II was **prepared** in 3 steps starting from (5S)-5-[(acetylamino)methyl]-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-oxazolidin-2-one and involving intermediates (5S)-5-(aminomethyl)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-oxazolidin-2-one (by acetyl removal) and 2,2-difluoro-N-[[(5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide (by condensation with difluoroacetic acid) and involving oxo conversion to thioxo using Lawesson's reagent in the final step.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:42117 CASREACT

TITLE: An alternative procedure for **preparation** of **cefdinir**

AUTHOR(S): Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca; Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara; Lopez, Miguel A.; Fini, Adamo

CORPORATE SOURCE: Department of Chemical Synthesis, Center of Pharmaceutical Chemistry, Atabey, Ciudad de la Habana, Playa, 200, Cuba

SOURCE: Farmaco (2003), 58(6), 409-418
CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB **Cefdinir**, a broad spectrum third-generation cephalosporin for oral administration, was **prepared** by the following **synthetic** pathway: **synthesis** of diphenylmethyl 7β-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride from 7-aminocephalosporanic acid (7-ACA), **preparation** of sodium 2-(2-tritylaminothiazol-4-yl)-(Z)-2-(tritylhydroxyimino) acetate from Et acetoacetate, coupling of both intermediaries to obtain diphenylmethyl 7β-[2-(2-tritylaminothiazol-4-yl)-(Z)-2-tritylhydroxyimino]-3-vinyl-3-cephem-4-carboxylate and final cleavage of trityl and diphenylmethyl protective groups. This procedure allows to obtain better yields of **cefdinir** and to avoid the use of diketene during the **synthesis** of this antibiotic by the previously reported method.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:125013 CASREACT
TITLE: **Synthesis** of **cefdinir**
AUTHOR(S): Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei; Zhang, Li-he
CORPORATE SOURCE: Natl. Res. Lab. Natural Biomimetic Drugs, Peking Univ., Beijing, 100083, Peop. Rep. China
SOURCE: Hecheng Huaxue (2001), 9(5), 383-385
CODEN: HEHUE2; ISSN: 1005-1511
PUBLISHER: Hecheng Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB **Cefdinir** was **synthesized** via the condensation of 2-(2-aminothiazol-4-yl)-2-(Z)-(acetyinmino)acetyl chloride with 7-amino-3-vinyl-3-cephem-4-carboxylic acid. Under the optimization reaction conditions 60% total yield was achieved.

L6 ANSWER 8 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:303724 CASREACT
TITLE: **Preparation** of 3-vinylcephem compound from protected compounds
INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro
PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107
PRIORITY APPLN. INFO.:			JP 2000-111448	20000413
			WO 2001-JP3182	20010413

OTHER SOURCE(S): MARPAT 135:303724
GI

/ Structure 5 in file .gra /

AB **Cefdinir** is **prepared** by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% **cefdinir.**

L6 ANSWER 9 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:115774 CASREACT
TITLE: **Synthesis** and antibacterial activities of novel C(3)-aminopyrimidinyl substituted cephalosporins
AUTHOR(S): Lee, Chang-Seok; Oh, Seong Ho; Ryu, Eun-Jung; Kim, Mu-Yong; Paek, Kyung-Sook
CORPORATE SOURCE: Life Science R & D, Research Park, L G Chemical Ltd., Taejon, 305-380, S. Korea
SOURCE: Journal of Antibiotics (2000), 53(11), 1305-1309
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

/ Structure 6 in file .gra /

AB A new class of cephalosporins with C(3)-aminopyrimidinylthio substituents was **prepared** and found to exhibit well balanced activities against Gram-neg. and Gram-pos. bacteria. The MIC data on some of these new β-lactams, e.g., I and II, prove that this type of cephalosporin deserves further evaluation as new antibiotics against respiratory tract pathogens.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:35533 CASREACT
TITLE: **Synthesis** and biological evaluation of new oral carbapenems with 1-methyl-5-oxopyrrolidin-3-ylthio moiety
AUTHOR(S): Kanno, Osamu; Miyauchi, Masao; Shibayama, Takahiro; Ohya, Satoshi; Kawamoto, Isao
CORPORATE SOURCE: Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
SOURCE: Journal of Antibiotics (1999), 52(10), 900-907
CODEN: JANTAJ; ISSN: 0021-8820
PUBLISHER: Japan Antibiotics Research Association
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The **synthesis** and biol. properties of 1β-methylcarbapenems with 1-methyl-5-oxopyrrolidin-3-ylthio group at the C-2 position were studied. The sodium (1R,5S,6S)-6-[(R)-1-hydroxyethyl]-1-methyl-2-[(R)-1-methyl-5-oxopyrrolidin-3-ylthio]-1-carbapen-2-em-3-carboxylate and its (S)-isomer at the 2-position show potent and well-balanced antibacterial activity. The pharmacokinetic parameters of the pivaloyloxymethyl esters of these two carbapenems were compared in mice. The in vivo potency of these carbapenems was compared with that of **cefdinir.** Good in vivo efficacy of these ester prodrugs reflected the high and prolonged blood levels in parent drugs achieved after oral administration to mice.
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:149040 CASREACT
TITLE: Process for **preparation** of **cefdinir**
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000502700	T2	20000307	JP 1997-524230	19961226
AT 218572	E	20020615	AT 1996-943357	19961226
PT 874853	T	20020930	PT 1996-943357	19961226
ES 2175167	T3	20021116	ES 1996-943357	19961226
US 6093814	A	20000725	US 1998-68719	19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	19951227
			KR 1995-58695	19951227
			WO 1996-KR250	19961226

OTHER SOURCE(S): MARPAT 127:149040
GI

/ Structure 7 in file .gra /

AB **Cefdinir** I (R = H), a cephalosporin antibiotic, was **prepared** in an excellent color and purity and with a good yield. **Cefdinir** was **prepared** by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC6H4SO3H and Me2NCOMe to form crystals of I (R = CPh3).4-MeC6H4SO3H.2Me2NCOMe, which were then converted to **cefdinir** with the use of formic acid. Formation of the **cefdinir** amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)2].

L6 ANSWER 12 OF 12 CASREACT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 114:142931 CASREACT
TITLE: Studies on FK482 (**Cefdinir**). IV. **Synthesis** and structure-activity relationships of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-substituted cephalosporin derivatives
AUTHOR(S): Inamoto, Yoshiko; Sakane, Kazuo; Kamimura, Toshiaki;

Takaya, Takao
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Yakugaku Zasshi (1990), 110(12), 908-15
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

/ Structure 8 in file .gra /

AB The **synthesis** of 7β-[(Z)-2-(aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephalosporins I (R = H, Me, Et, C.tplbond.CH, CH:CHMe, MeO, MeS, EtS, SCH:CH2) modified at the C-3 position of a cephem nucleus and the effect of the C-3 substituents on the antibacterial activity and oral absorbability are discussed. The cephems having a C-3 substituent such as 1-propenyl, ethylthio and vinylthio group as well as FK482 (**cefdinir**) exhibited excellent antibacterial activities against both Gram-pos. and Gram-neg. bacteria. However, those compds. showed poor absorption rate after oral administration in rats. It is concluded that the vinyl moiety at the 3-position is necessary to display fairly oral absorptivity in a series of 7β-[(Z)-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephems.

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=> d que stat l10
L10          32 SEA FILE=CAPLUS ABB=ON  91832-40-5/BPN,CPN,PNU,PUR,SPN

L10  ANSWER 1 OF 32  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:547252  CAPLUS
DOCUMENT NUMBER:          143:65485
TITLE:                    Cefdinir crystal B as novel crystalline form and
                          method for preparation
INVENTOR(S):              Dandala, Ramesh; Sivakumaran, Meenakshisunderam
PATENT ASSIGNEE(S):       India
SOURCE:                   U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S.
                          Ser. No. 634,978.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     US 2005137182         A1    20050623    US 2004-976230           20041029
     US 2004242556         A1    20041202    US 2004-634978           20040224
PRIORITY APPLN. INFO.:                       IN 2003-MA440        A   20030602
                                             US 2004-634978       A2  20040224
AB   The present invention relates to novel crystalline form of Cefdinir,
     7β-[(Z)-2-(2-amino-4-thiazolyl)-2-hydroxyiminoacetamido]-3-vinyl-3-
     cephem-4-carboxylic acid, herein referred as cefdinir crystal B, processes
     for preparing cefdinir crystal B, and the incorporation of cefdinir crystal B
     in pharmaceutical compns.  A process for preparing crystalline cefdinir
crystal B
     comprises the steps of: reacting crystals A of cefdinir in water with
     trifluoroacetic acid at about 35-40°C to form cefdinir
     trifluoroacetic acid salt; optionally isolating the cefdinir
     trifluoroacetic acid salt; neutralizing the cefdinir trifluoroacetic acid
     salt by treatment with a base in water at a temperature between about 0- to
     30°C; and isolating cefdinir crystal B by filtration.

L10  ANSWER 2 OF 32  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:238740  CAPLUS
DOCUMENT NUMBER:          142:298138
TITLE:                    A preparation of cefdinir pyridine salt, useful for
                          the treatment of bacterial infections
INVENTOR(S):              Duerst, Richard W.; Law, Devalina; Lou, Xiaochun
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.
                          Ser. No. 661,148.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     US 2005059819         A1    20050317    US 2004-778851           20040213
     US 2005059818         A1    20050317    US 2003-661148           20030912
PRIORITY APPLN. INFO.:                       US 2003-661148       A2  20030912
AB   The invention relates to a preparation of novel pyridine salt of
     7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-
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carboxylic acid (cefdinir), useful for the treatment of bacterial infections (no biol. data). The solubility of cefdinir in pyridine was estimated
A suspension of cefdinir in pyridine was allowed to stand at room temperature After 1 wk, the solid from the suspension was separated and the powder X-ray diffraction pattern, 1H NMR, TGA, and IR spectrum of the moist solid were generated.

L10 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1037109 CAPLUS
DOCUMENT NUMBER: 142:28168
TITLE: Crystalline form of cefdinir
INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004104010	A1	20041202	WO 2004-IB1629	20040520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-DE711 A 20030520
AB The invention relates to a new crystalline form of cefdinir. More particularly, it relates to the preparation of new crystalline form of cefdinir, referred to as 'Form R' and pharmaceutical compns. that include the 'Form R'. It also relates to a method of treatment of infectious diseases comprising administration of the 'Form R'. The Form R was obtained from crystalline cefdinir K salt.
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1036707 CAPLUS
DOCUMENT NUMBER: 142:23139
TITLE: Process for preparing Cefdinir
INVENTOR(S): Dandala, Ramesh; Korrapati, V. V. Prasada Rao; Sivakumaran, Meenakhshisunderam
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242557	A1	20041202	US 2003-676914	20031001
PRIORITY APPLN. INFO.:			IN 2003-MA441 A	20030602
OTHER SOURCE(S):	CASREACT 142:23139			

GI

/ Structure 9 in file .gra /

AB A process was disclosed for the preparation of the intermediate thioester, 2-mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate (I), and its subsequent amidation reaction with 7-amino-3-vinyl-3-cephem-4-carboxylic acid II (R = H) or a corresponding cephem ester, such as II (R = C6H4-4-OMe, C6H4-4-NO2, CHPh2), to form the β-lactam antibiotic Cefdinir (III).

L10 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1036706 CAPLUS
DOCUMENT NUMBER: 142:28157
TITLE: Novel crystalline form of cefdinir
INVENTOR(S): Dandala, Ramesh; Sivakumaran, Meenakshisunderam
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242556	A1	20041202	US 2004-634978	20040224
US 2005137182	A1	20050623	US 2004-976230	20041029
PRIORITY APPLN. INFO.:			IN 2003-MA440 A	20030602
			US 2004-634978 A2	20040224

AB The present invention relates to novel crystalline form of cefdinir (cefdinir Crystal B; water content of 5.5 to 7.0% by weight), process to prepare it and the use of cefdinir Crystal B in pharmaceutical compns. A process for preparing crystalline cefdinir Crystal B comprises the steps of (i) reacting cefdinir Crystal A in water with trifluoroacetic acid at 35 to 40° to form cefdinir trifluoroacetic acid salt (CTFA salt), (ii) optionally isolating the CTFA salt, and (iii) neutralizing the CTFA salt by treatment with a base in water at a temperature between 0° and 30°, isolating cefdinir Crystal B by filtration. A pharmaceutical composition comprises a therapeutically effective amount of cefdinir Crystal B and a pharmaceutically acceptable carrier.

L10 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:817895 CAPLUS
DOCUMENT NUMBER: 141:320013
TITLE: Novel crystal of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer) and method for preparation thereof
INVENTOR(S): Imai, Eiji; Niwa, Hiroyuki

PATENT ASSIGNEE(S): Shiono Chemical Co. Ltd., Japan
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085443	A1	20041007	WO 2004-JP3622	20040318

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-81273 A 20030324
OTHER SOURCE(S): CASREACT 141:320013

AB Disclosed is a novel crystal (B-type crystal) of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (a syn isomer), characterized in that it exhibits peaks at diffraction angles shown in the following Table 1, in its powder X ray diffraction pattern; Table 1 Diffraction Angle 2θ (°) approx. 11.7 approx. 16.1 approx. 18.6 approx. 21.2 approx. 22.3 approx. 24.4 approx. 26.2 and a method for preparing the novel crystal which comprises forming a crystal from a solution at a temperature of -5 to 5°C in an acidic state. The crystal is not bulky, exhibits good stability and good filterability, and is excellent in the solubility toward water, and thus can be prepared with ease.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:565196 CAPLUS
DOCUMENT NUMBER: 141:123514
TITLE: Preparation of cephalosporins and their intermediates
INVENTOR(S): Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana
PATENT ASSIGNEE(S): Lupin Limited, India
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058695	A1	20040715	WO 2002-IN245	20021226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,

UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: WO 2002-IN245 20021226
OTHER SOURCE(S): CASREACT 141:123514; MARPAT 141:123514
GI

/ Structure 10 in file .gra /

AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as XCH2COC(:NOR)COSO2OCH:NMe2Cl I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group, CH2COOR5, C(CH3)2COOR5, wherein R5 = H, an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such II [R1 = R; R1 = H, OMe; R2 = H; R3 = H, a neg. charge or together with the CO2- group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. The process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in CH2Cl2 containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

L10 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:546513 CAPLUS
DOCUMENT NUMBER: 141:88964
TITLE: Process for preparing crystalline cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.p.A., Italy
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056835	A1	20040708	WO 2003-EP13524	20031201

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: IT 2002-MI2724 A 20021220
OTHER SOURCE(S): MARPAT 141:88964
GI

/ Structure 11 in file .gra /

AB Cefdinir salts, such as I.nH3PO4 [R1, R2 = H; n = 1 - 3 (II)], the hydrates and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, p-methoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh3, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453223 CAPLUS
DOCUMENT NUMBER: 141:6966
TITLE: Process for preparing cefdinir and its amorphous hydrate
INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Ramasubbu, Chandrasekaran
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2002-MA848 A 20021115
IN 2003-MA152 A 20030226
OTHER SOURCE(S): CASREACT 141:6966; MARPAT 141:6966
GI

/ Structure 12 in file .gra /

AB The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

L10 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:355098 CAPLUS
DOCUMENT NUMBER: 140:375021
TITLE: Intermediate cefdinir salts
INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter
PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035800	A2	20040429	WO 2003-EP10718	20030926
WO 2004035800	A3	20040826		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500791	AA	20040429	CA 2003-2500791	20030926
EP 1546155	A2	20050629	EP 2003-788921	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: IT 2002-MI2076 A 20021001
WO 2003-EP10718 W 20030926

OTHER SOURCE(S): MARPAT 140:375021
GI

/ Structure 13 in file .gra /

AB Disclosed are salts of the general formula (I) wherein R1 is H or an amino-protecting group, R2 is and OH-protecting group, and B is NH3 or an organic base, and a process for the preparation thereof. These salts are useful

intermediates for the preparation of cefdinir (II).

L10 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:162698 CAPLUS
DOCUMENT NUMBER: 140:217437
TITLE: Process for the preparation of cefdinir intermediate
INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher, Johannes
PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016623	A1	20040226	WO 2003-EP8944	20030812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
EP 1554289	A1	20050720	EP 2003-787771	20030812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: AT 2002-1223 A 20020813
AT 2002-1588 A 20021018
WO 2003-EP8944 W 20030812

OTHER SOURCE(S): MARPAT 140:217437
GI

/ Structure 14 in file .gra /

AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:472518 CAPLUS

DOCUMENT NUMBER: 139:41841
TITLE: Preparation of crystalline cefdinir potassium dihydrate
INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok; Singh, Shailendra Kumar; Kumar, Neela Praveen
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050124	A1	20030619	WO 2002-IB5315	20021212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003091261	A1	20031106	WO 2002-IB1410	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002015709	A	20050329	BR 2002-15709	20020426
EP 1546154	A1	20050629	EP 2002-807297	20020426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1458728	A1	20040922	EP 2002-783470	20021212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005080255	A1	20050414	US 2003-498406	20021212
JP 2005516011	T2	20050602	JP 2003-551148	20021212

PRIORITY APPLN. INFO.:

IN 2001-DE1242	A	20011213
WO 2002-IB1410	A	20020426
WO 2002-IB5315	W	20021212

AB The present invention relates to a novel crystalline cefdinir potassium dihydrate (I), to a process for its preparation and to a method of preparing pure cefdinir via the crystalline salt. Thus, cefdinir was suspended in water and acetone and potassium acetate was added to the suspension to form the I.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:408080 CAPLUS

DOCUMENT NUMBER: 140:42117
TITLE: An alternative procedure for preparation of cefdinir
AUTHOR(S): Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca; Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara; Lopez, Miguel A.; Fini, Adamo
CORPORATE SOURCE: Department of Chemical Synthesis, Center of Pharmaceutical Chemistry, Atabey, Ciudad de la Habana, Playa, 200, Cuba
SOURCE: Farmaco (2003), 58(6), 409-418
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:42117
AB Cefdinir, a broad spectrum third-generation cephalosporin for oral administration, was prepared by the following synthetic pathway: synthesis of diphenylmethyl 7β-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride from 7-aminocephalosporanic acid (7-ACA), preparation of sodium 2-(2-tritylaminothiazol-4-yl)-(Z)-2-(tritylhydroxyimino) acetate from Et acetoacetate, coupling of both intermediaries to obtain diphenylmethyl 7β-[2-(2-tritylaminothiazol-4-yl)-(Z)-2-tritylhydroxyimino]-3-vinyl-3-cephem-4-carboxylate and final cleavage of trityl and diphenylmethyl protective groups. This procedure allows to obtain better yields of cefdinir and to avoid the use of diketene during the synthesis of this antibiotic by the previously reported method.
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:334829 CAPLUS
DOCUMENT NUMBER: 138:343889
TITLE: Novel pharmaceutical compounds containing drugs bound to polypeptides
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 4662 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114
WO 2003034980	C1	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428971	AA	20030501	CA 2001-2428971	20011114
EP 1401374	A1	20040331	EP 2001-274606	20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 2000-274622P P 20001114
US 2000-247622P P 20001114
WO 2001-US43089 W 20011114

AB Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

L10 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:228449 CAPLUS
DOCUMENT NUMBER: 139:169449
TITLE: Determination of cefdinir and its related substances by HPLC
AUTHOR(S): Wang, Xing-lin
CORPORATE SOURCE: Tianjin Institute of Pharmaceutical Research, Tianjin, 300193, Peop. Rep. China
SOURCE: Zhongguo Xinyao Zazhi (2003), 12(2), 114-117
CODEN: ZXZHA6; ISSN: 1003-3734
PUBLISHER: Zhongguo Xinyao Zazhishe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB A HPLC method for the determination of cefdinir and its related substances was established. A C18 column (250 mm + 4.6mm, 5μm) was used. The mobile phase was the mixture of 0.025 mol·L-1 di-ammonium hydrogen phosphate adjusted to pH 5.0 with phosphoric acid and acetonitrile (89:11). The UV detection wavelength was 225 nm. The method was proved to be selective for separation of cefdinir, its byproducts, degradation products and E-isomer. The method is simple and selective, and suitable for the determination of cefdinir and its impurities.

L10 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946292 CAPLUS
DOCUMENT NUMBER: 138:13981
TITLE: Process for the preparation of high purity cefdinir via formations of crystalline acid salts
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Kim, Hong Sun; Park, Chul Huyn; Park, Gha Seung; Kim, Cheol Kyung
PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098884	A1	20021212	WO 2002-KR1064	20020605
W: CN, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
KR 2002092612	A	20021212	KR 2001-31339	20010605
EP 1392703	A1	20040303	EP 2002-730990	20020605

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

CN 1512996	A	20040714	CN 2002-811334		20020605
JP 2004534053	T2	20041111	JP 2003-502005		20020605
US 2004210049	A1	20041021	US 2003-479291		20031125
PRIORITY APPLN. INFO.:			KR 2001-31339	A	20010605
			WO 2002-KR1064	W	20020605

GI

/ Structure 15 in file .gra /

AB High purity cefdinir is prepared in a high yield by a process comprising the steps of: treating a cefdinir intermediate with a formic acid-sulfuric acid mixture or a formic acid-methanesulfonic acid mixture to obtain a crystalline salt of cefdinir I [HX = H2SO4, MeSO3H] and reacting the crystalline salt with a base in a solvent. Thus, crystalline cefdinir.TsOH.2DMAC was prepared by an amidation reaction of (Z)-2-amino-α-[(triphenylmethoxy)imino]-4-thiazoleethanethioic acid S-2-benzothiazolyl ester with 7-amino-3-vinyl-3-cephem-4-carboxylic acid using Bu3N in N,N-dimethylacetamide (DMAC), followed by treatment with TsOH. Crystalline cefdinir.TsOH.2DMAC was converted to crystalline cefdinir.H2SO4 in 91% yield using 90% HCO2H, 98% H2SO4 and MeCN. 99.9% Pure cefdinir was then obtained by suspending crystalline cefdinir.H2SO4 in H2O and adjusting the pH to 3.4 to 3.6 using Na2CO3. Also, 99.8% pure cefdinir was prepared via a similar sequence in which the intermediate salt was cefdinir.MeSO3H.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2002:449666 CAPLUS
DOCUMENT NUMBER:	137:20252
TITLE:	Process for producing anhydrous aminothiazole derivatives by dehydration in ketone or acetonitrile solvent
INVENTOR(S):	Ono, Hiroki; Hayashi, Masaru; Ohnishi, Masaru; Ohkawa, Kazuo; Kitayama, Masato
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 14 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046175	A1	20020613	WO 2001-JP10356	20011128

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2430840	AA	20020613	CA 2001-2430840	20011128
AU 2002022553	A5	20020618	AU 2002-22553	20011128
EP 1340751	A1	20030903	EP 2001-999567	20011128

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004034233	A1	20040219	US 2003-432605	20030603
US 6878827	B2	20050412		

PRIORITY APPLN. INFO.: JP 2000-368319 A 20001204
WO 2001-JP10356 W 20011128

OTHER SOURCE(S): MARPAT 137:20252
GI

/ Structure 16 in file .gra /

AB Disclosed is a novel process for industrially producing an anhydrous 2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetic acid (I; R1 = acyl, protected carboxy-lower alkyl, alkyl) which is characterized in that I hydrate is treated in ketone solvent or MeCN. Anhydrous I is reacted with halogenating agent such as PCl5, converted into acid chloride, and then reacted with 7-aminocephem compound to prepare a broad spectrum antibacterial agent (no data). An amount of halogenating agent required is reduced to .apprx.1 to 1.2 equiv compared to .apprx.3 equiv when I hydrate is used. Thus, 20.0 g syn-2-(2-aminothiazol-4-yl)-2-acetoxyiminoacetic acid (II) dihydrate was suspended in 200 mL acetone with stirring and heated under reflux at 55-56° for 1 h, and cooled at 5°, followed by filtration of precipitated crystals, an washing and vacuum-drying, to give 16.4 g anhydrous crystals of II. II (12.5 g) was suspended in 125 mL CH2Cl2 with stirring, cooled at -20 to -25°, treated with 13.6 g PCl5, and allowed to react at the same temperature, followed by filtration of precipitated crystals, washing with CH2Cl2, and vacuum-drying, to give 14.6 g 2-(2-aminothiazol-4-yl)-2-(acetoxyimino)acetyl chloride hydrochloride (III). 7-Amino-3-vinyl-3-cephem-4-carboxylic acid (4.52 g) and 10.2 g 1,3-bis(trimethylsilyl)urea were suspended in 80 mL EtOAc, heated under reflux for 120 h for silylation, cooled at -20°, followed by adding 6.25 g III, and the resulting mixture was allowed to react for 30 min to give 95% 7-[syn-2-(2-aminothiazol-4-yl)-2-(acetoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2001:767504 CAPLUS
DOCUMENT NUMBER:	135:303724
TITLE:	Preparation of 3-vinylcephem compound from protected compounds
INVENTOR(S):	Kameyama, Yutaka; Fukae, Kazuhiro
PATENT ASSIGNEE(S):	Ohtsuka Chemical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107
PRIORITY APPLN. INFO.:			JP 2000-111448 A	20000413
			WO 2001-JP3182 W	20010413

OTHER SOURCE(S): CASREACT 135:303724; MARPAT 135:303724
GI

/ Structure 17 in file .gra /

AB Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% cefdinir.

L10 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:708773 CAPLUS
DOCUMENT NUMBER: 131:327498
TITLE: A method for crystallizing a β-lactam antibiotic
INVENTOR(S): Van Der Does, Thomas; Kuipers, Rienk Hendrik
PATENT ASSIGNEE(S): DSM N.V., Neth.; Van Der Does, Thomas
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955710	A1	19991104	WO 1999-NL246	19990427
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9935395	A1	19991116	AU 1999-35395	19990427
BR 9910085	A	20001226	BR 1999-10085	19990427
TR 200003131	T2	20010122	TR 2000-200003131	19990427
EP 1075479	A1	20010214	EP 1999-917236	19990427
R: AT, BE, ES, FR, GB, IT, NL				
PRIORITY APPLN. INFO.:			EP 1998-201398 A	19980429
			WO 1999-NL246 W	19990427

OTHER SOURCE(S): MARPAT 131:327498
AB The invention relates to a method for crystallizing a β-lactam, wherein the

β-lactam is crystallized from a nitric acid solution E.g., at 20°, cefaclor monohydrate (11.0 g) was suspended in water (55 mL) and 4M HNO3 (8.1 g) was added to give a pH of 1.0. In order to dissolve all material, water (31 mL) was added while the pH was maintained at 1.0 using 4M HNO3 (2.5 g). Cefaclor monohydrate was crystallized by adding a 25% solution of NH4OH (3.8 mL) until the pH value of 6.2 was reached. The crystals thus produced were isolated by filtration, washed with water and dried under vacuum to give 8.8 g cefaclor monohydrate. The mother liquor (110 g) contained 2.2 g of dissolved cefaclor monohydrate.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:682396 CAPLUS
DOCUMENT NUMBER: 129:275784
TITLE: synthesis of crystalline dicyclohexylamine salt of cefdinir
INVENTOR(S): Sturm, Hubert; Wolf, Siegfried; Ludescher, Johannes
PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845299	A1	19981015	WO 1998-EP1953	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AT 9700570	A	19981115	AT 1997-570	19970404
AT 405283	B	19990625		
CA 2283718	AA	19981015	CA 1998-2283718	19980402
AU 9874288	A1	19981030	AU 1998-74288	19980402
AU 731413	B2	20010329		
EP 973779	A1	20000126	EP 1998-921425	19980402
EP 973779	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902406	T2	20000221	TR 1999-9902406	19980402
BR 9809745	A	20000620	BR 1998-9745	19980402
JP 2000514833	T2	20001107	JP 1998-542358	19980402
JP 3421354	B2	20030630		
AT 244249	E	20030715	AT 1998-921425	19980402
NO 9904466	A	19990915	NO 1999-4466	19990915
US 6350869	B1	20020226	US 1999-381947	19990927
MX 9909045	A	20000228	MX 1999-9045	19991001

PRIORITY APPLN. INFO.:		
AT 1997-570	A	19970404
EP 1998-921425	A	19980402
WO 1998-EP1953	W	19980402

AB A process for production of cefdinir in the form of a salt with

dicyclohexylamine, and its use in the purification of impure cefdinir is described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:547291 CAPLUS
DOCUMENT NUMBER: 127:149040
TITLE: Process for preparation of cefdinir
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9724358	A1	19970710	WO 1996-KR250		19961226
W: JP, US					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
KR 174432	B1	19990218	KR 1995-58694		19951227
KR 174431	B1	19990218	KR 1995-58695		19951227
EP 874853	A1	19981104	EP 1996-943357		19961226
EP 874853	B1	20020605			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2000502700	T2	20000307	JP 1997-524230		19961226
AT 218572	E	20020615	AT 1996-943357		19961226
PT 874853	T	20020930	PT 1996-943357		19961226
ES 2175167	T3	20021116	ES 1996-943357		19961226
US 6093814	A	20000725	US 1998-68719		19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	A	19951227
			KR 1995-58695	A	19951227
			WO 1996-KR250	W	19961226

OTHER SOURCE(S): CASREACT 127:149040; MARPAT 127:149040
GI

/ Structure 18 in file .gra /

AB Cefdinir I (R = H), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC6H4SO3H and Me2NCOMe to form crystals of I (R = CPh3).4-MeC6H4SO3H.2Me2NCOMe, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)2].

L10 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:279255 CAPLUS

DOCUMENT NUMBER: 125:24811
TITLE: Structural studies on an iron(III) complex containing (Z)-2-(2-aminothiazol-4-yl)-N-(2-hydroxyethyl)-2-(hydroxyimino)acetamide, a model compound for a cephalosporin antibiotic Cefdinir
AUTHOR(S): Deguchi, Shuhei; Fujioka, Mamoru; Okamoto, Yoshihiko; Yasuda, Tsutomu; Nakamura, Nobuhumi; Yamaguchi, Kazuya; Suzuki, Shinnichiro
CORPORATE SOURCE: Analytical Res. Lab., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan
SOURCE: Journal of the Chemical Society, Dalton Transactions: Inorganic Chemistry (1996), (9), 1967-1971
CODEN: JCDTBI; ISSN: 0300-9246
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB (Z)-2-(2-Aminothiazol-4-yl)-N-(2-hydroxyethyl)-2-(hydroxyimino)acetamide (HL) has been employed as a model compound for a cephalosporin antibiotic, Cefdinir. A trinuclear Fe(III) complex [Fe3L6]Cl[OH]2 (1) was obtained from a MeOH solution containing HL and FeCl3 and its structure determined by x-ray crystallog.: monoclinic, space group P21/n, a 15.559(1), b 19.295(2), c 10.963(1) Å, β 101.29(1)°, Z = 2. The mol. structure contains a linear Fe(1)-Fe(2)-Fe(1') arrangement, the central atom Fe(2) being an inversion center. Atom Fe(1) is coordinated to three mols. of L through the thiazole and oximate N atoms to form Fe(1)L3, and Fe(2) to six oximate O atoms of the two Fe(1)L3 units. The two Fe(1)L3 units are bridged by the central Fe atom Fe(2). The Moessbauer spectrum of 1 gave an apparent doublet signal consisting of two doublets, A and B, assigned to Fe(1) and Fe(2), resp. The isomer shifts δ of these doublets are the same (0.26 mm s-1), and are typical for high-spin Fe(III). The reflectance spectrum did not show any intervalence bands. These spectral data indicate that the three Fe atoms are high-spin Fe(III). The compound coordinates to Fe(III) via the thiazole ring N atom and the oximate N atom (2N mode) in MeOH which is different from that in H2O, where L prefers to coordinate to an Fe(III) through the oximate O atom and the amide O atom (2O mode).

L10 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:94531 CAPLUS
DOCUMENT NUMBER: 120:94531
TITLE: Research and development of new oral cephems, cefixime and cefdinir
AUTHOR(S): Sakane, Kazuo; Kawabata, Kohji; Inamoto, Yoshiko; Yamanaka, Hideaki; Takaya, Takao
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Yakugaku Zasshi (1993), 113(9), 605-26
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
GI

/ Structure 19 in file .gra /

AB A review with 32 refs. on the structure-activity relationships, biol. properties and synthesis of two new oral cephalosporin antibiotics,

cefixime (I) and cefdinir (II). The antibacterial activity and mechanisms of intestinal absorption of I and II are described.

L10 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:22086 CAPLUS
DOCUMENT NUMBER: 118:22086
TITLE: Preparation of thiazoleacetic acid derivatives as intermediates for cephalosporins
INVENTOR(S): Kobori, Takeo; Yamamoto, Rumi; Fujita, Mikako; Hiyama, Tamejiro; Nagate, Takatoshi
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan; Taisho Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04173781	A2	19920622	JP 1990-298660	19901102

PRIORITY APPLN. INFO.: JP 1990-298660 19901102
GI

/ Structure 20 in file .gra /

AB The title compds., e.g., I, and their salts and reactive derivs. are prepared A mixture of HCO2H and AcOH were heated with stirring at 50°, and then treated with amino derivative II at room temperature to give 82% I, which was suspended in CH2Cl2 and treated with POCl5 at -5°, and the resultant and chloride was treated with cephem derivative III and bis(trimethylsilyl)acetamide in CH2Cl2 at 5° to give 90% cephem amide derivative IV.

L10 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:550798 CAPLUS
DOCUMENT NUMBER: 117:150798
TITLE: Preparation of benzothiazolethiol esters as intermediates for cephalosporin derivatives
INVENTOR(S): Kobori, Takeo; Yamamoto, Rumi; Fujita, Mikako; Hiyama, Tamejiro; Nagate, Takatoshi
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Sagami Chemical Research Center
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207840	A1	19920514	WO 1991-JP1482	19911030

W: CA, JP, KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE
PRIORITY APPLN. INFO.: JP 1990-298661 A 19901102
OTHER SOURCE(S): MARPAT 117:150798
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Benzothiazolethiol esters (I; R1 = H, protecting group) are prepared as intermediates for antibacterial cephalosporin derivs. Tritylation of ClCH2COC(:NOH)CO2Et followed by cyclocondensation with thiourea gave 34% thiazole derivative II, which was saponified and then reacted with disulfide III in the presence of N-methylpyrrolidone, N-methylmorpholine, and (EtO)2P in MeCN at room temperature and 0° to give 63% syn-I (R1 = Ph3C) (IV). Reaction of IV with (Z)-V in THF at 25° gave 89% (Z)-syn-VI.

L10 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:163864 CAPLUS
DOCUMENT NUMBER: 114:163864
TITLE: Preparation of 3-alkenylcephemcarboxylates as antibiotics
INVENTOR(S): Baker, Stephen Richard; Farina, Vittorio; Sapino, Chester, Jr.
PATENT ASSIGNEE(S): Bristol-Myers Co., USA
SOURCE: Ger. (East), 13 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 280533	A5	19900711	DD 1988-327653	19880607

PRIORITY APPLN. INFO.: DD 1988-327653 19880607
OTHER SOURCE(S): MARPAT 114:163864
GI

/ Structure 21 in file .gra /

AB The title compds. [I; Q = H, RCO; R = (cyclo)alkyl, alkenyl, (un)substituted (hetero)aryl, etc.; R1 = alkenyl, 4-(MeO)C6H4, etc.], their esters, salts, etc., were prepared by substitution of I (R1 = OSO2CF3) with R1SnBu3. Thus, the diphenylmethyl ester of I (Q = PhCH2CO) (II; R1 = OSO2CF3) (preparation given) was stirred 5.5 h at 50° and 16 h at room temperature with 4-(MeO)C6H4SnBu3 in 1-methyl-2-pyrrolidinone containing ZnCl2, tris(2-furyl)phosphine, and [(PhCH:CH)2CO]2Pd to give II [R1 = 4-(MeO)C6H4] which had MIC of 4 and 2 g/mL against Streptococcus faecalis and Escherichia coli, resp.

L10 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:423533 CAPLUS
DOCUMENT NUMBER: 113:23533

TITLE: Preparation of 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-cephem compounds
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02000790	A2	19900105	JP 1988-330966	19881228
ES 2013828	A6	19900601	ES 1989-46	19890105
KR 140887	B1	19980601	KR 1989-27	19890105
CA 1340604	A1	19990622	CA 1989-587693	19890106
PRIORITY APPLN. INFO.:			GB 1988-295 A	19880107

OTHER SOURCE(S): MARPAT 113:23533
GI

/ Structure 22 in file .gra /

AB The title compds. [I; R1 = organic residue; R2 = (protected) CO2H; R3 = H, acyl] are prepared MeC(OSiMe3):NSiMe3 and cephem II were dissolved in THF and stirred with syn-III (preparation given) at 0-5° to give 85.1% syn-I (R1 = vinyl, R2 = CO2H, R3 = Ac), which was hydrolyzed with NH4Cl in MeOH to give 70.0% syn-I (R1 = vinyl, R2 = CO2H, R3 = H).

L10 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:216544 CAPLUS
DOCUMENT NUMBER: 112:216544
TITLE: Preparation of 3-alkenylcephemcarboxylates and analogs as antibiotics
INVENTOR(S): Baker, Stephen R.; Farina, Vittorio; Sapino, Chester, Jr.
PATENT ASSIGNEE(S): Bristol-Myers Co., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4870168	A	19890926	US 1987-19396	19870226
CA 1340583	A1	19990608	CA 1988-564370	19880418
AU 602395	B2	19901011	AU 1988-14758	19880419
AU 8814758	A1	19891026		
NO 8801822	A	19891027	NO 1988-1822	19880426
NO 172584	B	19930503		
NO 172584	C	19930811		
JP 01313483	A2	19891218	JP 1988-134270	19880531
JP 2706090	B2	19980128		
PRIORITY APPLN. INFO.:			US 1987-19396 A	19870226

OTHER SOURCE(S): CASREACT 112:216544; MARPAT 112:216544
GI

/ Structure 23 in file .gra /

AB The title compds. [I; Q = H, Me3CO2C, silyl protective group, acyl group of a known 7-acylamino cephalosporin antibiotic; R = H, Ph2CH; R1 = aryl, heteroaryl, -alkynyl, (un)substituted 1-alkenyl, (un)conjugated 1-polyalkenyl] were prepared by substitution of I (R1 = CF3SO2O) with, e.g., alkenyltrialkylstannanes. Thus, I (Q = PhCH2CO, R = Ph2CH, R1 = CF3SO2O) (preparation given) was stirred 16 h with (Z)-MeCH:CHSnBu3 in THF containing tri(2-furyl)phosphine, [(PhCH:CH)2CO]2Pd, and ZnCl2 to give 65% title compound II which had MIC of 0.016 μg/mL against Staphylococcus pyrogenes.

L10 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:216543 CAPLUS
DOCUMENT NUMBER: 112:216543
TITLE: Preparation of 3-hydrocarbylcephemcarboxylates as antibiotics
INVENTOR(S): Baker, Stephen Richard; Farina, Vittorio; Sapino, Chester, Jr.
PATENT ASSIGNEE(S): Bristol-Myers Co., USA
SOURCE: Ger. (East), 42 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 270712	A5	19890809	DD 1988-316493	19880607

PRIORITY APPLN. INFO.: DD 1988-316493 19880607
OTHER SOURCE(S): MARPAT 112:216543
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; Q = H, RCO; R = (un)substituted C1-20 aryl, heteroaryl, alkyl, etc.; R1 = 1-alkenyl, (un)conjugated polyalkenyl, 1-alkynyl, aryl, heteroaryl; R2 = H, CHPh2] were prepared by condensation of I (R1 = OSO2CF3) (II) with hydrocarbyltrialhylstannanes in the presence of a Pd compound and a phosphine. Thus, II (Q = PhCH2CO, R2 = CHPh2) was stirred 19 h with Me2C:CHSnBu3 in 1-methyl-2-pyrrolidinone containing ZnCl2, tri(2-furyl)phosphine and [(PhCH:CH)2CO]2Pd to give 66% title compound III which had MIC of 0.03 to >125 g/-mL against 13 organisms, e.g., 4 g/mL (sic) against Streptococcus faecalis.

L10 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:573838 CAPLUS
DOCUMENT NUMBER: 111:173838
TITLE: Synthesis and biological activity of a new cephalosporin, BMY-28232 and its prodrug-type esters for oral use
AUTHOR(S): Kamachi, Hajime; Narita, Yukio; Okita, Takaaki; Abe, Yoshio; Iimura, Seiji; Tomatsu, Kozo; Yamasaki, Tetsuro; Okumura, Jun; Naito, Takayuki
CORPORATE SOURCE: Tokyo Res. Cent., Bristol-Myers Res. Inst., Ltd., Tokyo, 153, Japan
SOURCE: Journal of Antibiotics (1988), 41(11), 1602-16
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:173838
GI

/ Structure 24 in file .gra /

AB BMY-28232 (I, R = R1 = H, R2 = Me) its 3-alkenyl analogs I (R = R1 = H, R2 = Et, H) and O-substituted derivs. I (R = Me, CHMe2CH2C.tplbond.CH, allyl, CH2CO2H, R1 = H, R2 = Me) were prepared The oral pharmacokinetics and in vivo activities of (I, R = H, R1 = CHMeOAc, R2 = Me) and its analogs I (R = H, R1 = CHMeO2CR3, 5-methyl-2-oxo-1,3-dioxoben-4-ylmethyl; R2 = Me; R3 = cyclohexylmethyl, cyclohexyloxy, OEt) were determined The 3-alkenyl groups were introduced by the Wittig reaction of the ylide prepared from the 3-chloromethylcephem to afford the Z and E isomers of the 3-side chain. The O-substituted derivs. were prepared by 7-N-acylation of the 7-aminocephem with the O-substituted side chain acids. The esters were prepared by esterification of BMY-25232. BMY-28232 was the most active among the 3-alkenyl analogs tested against Gram-neg. organisms and much more active than the O-substituted derivs. against Gram-pos. bacteria. BMY-28271 showed good oral bioavailability (66%) and good in vivo efficacy in mice against infections of Staphylococcus aureus Smith (PD50, 0.68 mg/kg) and Escherichia coli Juhl (0.54 mg/kg).

L10 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:496960 CAPLUS
DOCUMENT NUMBER: 111:96960
TITLE: Preparation of syn-7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid in a crystalline form
INVENTOR(S): Takaya, Takao; Shirai, Fumiyuki; Nakamura, Hitoshi; Inaba, Yasunobu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304019	A2	19890222	EP 1988-113311	19880817
EP 304019	A3	19901227		
EP 304019	B1	19950531		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
ZA 8805709	A	19890426	ZA 1988-5709	19880803
US 4935507	A	19900619	US 1988-229489	19880808
JP 01250384	A2	19891005	JP 1988-202527	19880812
JP 06074276	B4	19940921		
AU 8820998	A1	19890223	AU 1988-20998	19880816
AU 617347	B2	19911128		
ES 2072856	T3	19950801	ES 1988-113311	19880817
CA 1297096	A1	19920310	CA 1988-575044	19880818
KR 9708126	B1	19970521	KR 1988-10489	19880818
PRIORITY APPLN. INFO.:			JP 1987-206199 A	19870819

GI

/ Structure 25 in file .gra /

AB The title compound (I) was prepared in a crystalline form and characterized by its x-ray diffraction pattern. Cephemcarboxylate II (R1 = H, R2 = CPh2) was stirred 30 min at -10 to 0° with ClCH2COCH2COCl (preparation given) in AcNMe2 to give II (R1 = ClCH2COCH2CO, R2 = CPh2) which was stirred with NaNO2 in CH2Cl2 containing HOAc to give, after saponification, II [R1 = ClCH2COC(:NOH)CO, R2 = H]. The latter was stirred 6 h with (H2N)CS in H2O containing NaOAc maintained at pH 5.5-5.7 by addition of aqueous NH3 to give after chromatog. and acidification, crystallization I.

L10 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:94788 CAPLUS
DOCUMENT NUMBER: 110:94788
TITLE: FK 482, a new orally active cephalosporin. Synthesis and biological properties
AUTHOR(S): Inamoto, Yoshiko; Chiba, Toshiyuki; Kamimura, Toshiaki; Takaya, Takao
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Journal of Antibiotics (1988), 41(6), 828-30
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:94788
GI

/ Structure 26 in file .gra /

AB FK 482 (I) was prepared from the aminocephem by reaction with BrCH2COCH2COBr, nitrosation, and cyclization with thiourea. I has superior bactericidal activity to cefixime, cefaclor, and amoxicillin.

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=> d his ful

L1   (         1)SEA ABB=ON  CEFDINIR/CN
L2   (       448)SEA ABB=ON  L1 OR ?CEFDINIR?
L3   (       102)SEA ABB=ON  L2 AND (?PREP? OR ?SYNTH? OR ?PURIF? OR ?CRYSTALLIZ
                 ?)
L4   (        89)SEA ABB=ON  L3 AND ?PREP?
L5            83 SEA ABB=ON  L4 AND (PRD<20030912 OR PD<20030912)
                 ---------

     FILE 'CASREACT' ENTERED AT 10:20:23 ON 26 JUL 2005
L6            12 SEA ABB=ON  L2 AND ?PREP?

     FILE 'REGISTRY' ENTERED AT 10:21:12 ON 26 JUL 2005
L7             1 SEA ABB=ON  CEFDINIR/CN

     FILE 'HCAPLUS' ENTERED AT 10:21:24 ON 26 JUL 2005
L8           448 SEA ABB=ON  L7 OR ?CEFDINIR?
L9            63 SEA ABB=ON  L8(L)?PREP?

     FILE 'CAPLUS' ENTERED AT 10:25:18 ON 26 JUL 2005
L10           32 SEA ABB=ON  91832-40-5/BPN,CPN,PNU,PUR,SPN

     FILE HCAPLUS

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DICTIONARY FILE UPDATES: 25 JUL 2005 HIGHEST RN 856925-80-9

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* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

FILE CAPLUS

FILE COVERS 1907 - 26 Jul 2005 VOL 143 ISS 5
FILE LAST UPDATED: 25 Jul 2005 (20050725/ED)

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